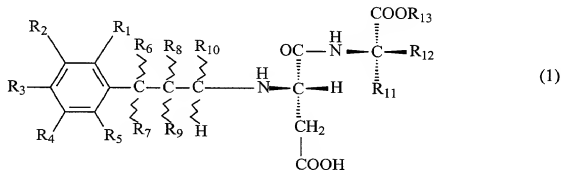


# CLAIMS:

1. An N-alkylaspartyl dipeptide ester compound, and salts thereof, represented by the formula (1):



wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are independent from each other, selected from the group consisting of a hydrogen atom, a hydroxyl group, an alkoxy group having 1 to 3 carbon atoms, an alkyl group having 1 to 3 carbon atoms and a hydroxy alkoxy group having two or three carbon atoms, and R<sub>1</sub> and R<sub>2</sub>, or R<sub>2</sub> and R<sub>3</sub>, optionally, form a methylene dioxy group, and R<sub>4</sub> and R<sub>5</sub>, and R<sub>1</sub> or R<sub>3</sub> which do not form the methylene dioxy group are defined as above;

R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> are independent from each other, a hydrogen atom or an alkyl group with 1 to 3 carbon atoms; and optionally, two of R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> may combine to form an alkylene group with 1 to 5 carbon atoms, and R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> which do not form the alkylene group with 1 to 5 carbon atoms are defined as above;

R<sub>11</sub> is selected from the group consisting of a hydrogen atom, a benzyl group, a p-hydroxy benzyl group, a cyclohexyl methyl group, a phenyl group, a cyclohexyl group, a phenyl ethyl group and a cyclohexyl ethyl group;

R<sub>12</sub> is selected from the group consisting of a hydrogen atom and an alkyl group with 1 to 3 carbon atoms; and

R<sub>13</sub> is selected from the group consisting of alkyl groups with 1 to 4 carbon atoms; with the proviso that the following are excluded:

where R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> are hydrogen atoms at the same time,

where R<sub>6</sub> is a methyl group, R<sub>11</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are a hydrogen atom at the same time and R<sub>11</sub> is a benzyl group or a p-hydroxy benzyl group, at the same time; and

where R<sub>2</sub> or R<sub>4</sub> are methoxy groups, R<sub>3</sub> is a hydroxyl group, R<sub>10</sub> is a methyl group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub> and R<sub>9</sub> are hydrogen atoms at the same time, and R<sub>11</sub> is a benzyl group or a p-hydroxy benzyl group.

2. The compound as defined in claim 1, wherein R<sub>3</sub> is a methoxy group, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub> and R<sub>13</sub> are methyl groups and R<sub>11</sub> is a benzyl group.

3. The compound as defined in claim 1, wherein R<sub>2</sub> is a hydroxyl group, R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub> and R<sub>13</sub> are methyl groups, and R<sub>11</sub> is a benzyl group.

4. The compound as defined in claim 1, wherein R<sub>2</sub> is a methoxy group, R<sub>3</sub> is a hydroxyl group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub> and R<sub>13</sub> are methyl groups and R<sub>11</sub> is a benzyl group.

5. The compound as defined in claim 1, wherein R<sub>2</sub> is a hydroxyl group, R<sub>3</sub> is a methoxy group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub> and R<sub>13</sub> are methyl groups and R<sub>11</sub> is a benzyl group.

6. The compound as defined in claim 1, wherein R<sub>2</sub> is a methoxyl group, R<sub>3</sub> is a hydroxy group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>13</sub> are hydrogen atoms, R<sub>6</sub> and R<sub>13</sub> are methyl groups and R<sub>11</sub> is a p-hydroxy benzyl group.

7. The compound as defined in claim 1, wherein R<sub>2</sub> is a hydroxyl group, R<sub>3</sub> is a methoxy group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>13</sub> are hydrogen atoms, R<sub>6</sub> and R<sub>13</sub> are methyl groups and R<sub>11</sub> is a cyclohexyl methyl group.

8. The compound as defined in claim 1, wherein R<sub>3</sub> is a methoxy group, R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub>, R<sub>7</sub> and R<sub>13</sub> are methyl groups, and R<sub>11</sub> is a benzyl group.

9. The compound as defined in claim 1, wherein  $R_3$  is a hydroxyl group,  $R_1$ ,  $R_2$ ,  $R_4$ ,  $R_5$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{12}$  are hydrogen atoms,  $R_6$ ,  $R_7$  and  $R_{13}$  are methyl groups, and  $R_{11}$  is a benzyl group.

10. The compound as defined in claim 1, wherein  $R_2$  is a methoxy group,  $R_3$  is a hydroxyl group,  $R_1$ ,  $R_4$ ,  $R_5$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{12}$  are hydrogen atoms,  $R_6$ ,  $R_7$  and  $R_{13}$  are methyl groups, and  $R_{11}$  is a benzyl group.

11. The compound as defined in claim 1, wherein  $R_2$  is a hydroxyl group,  $R_3$  is a methoxy group,  $R_1$ ,  $R_4$ ,  $R_5$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{12}$  are hydrogen atoms,  $R_6$ ,  $R_7$  and  $R_{13}$  are methyl groups, and  $R_{11}$  is a benzyl group.

12. The compound as defined in claim 1, wherein  $R_2$  is a methyl group,  $R_3$  is a hydroxyl group,  $R_1$ ,  $R_4$ ,  $R_5$ ,  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{12}$  are hydrogen atoms,  $R_6$  and  $R_{13}$  are methyl groups, and  $R_{11}$  is a benzyl group.

13. The compound as defined in claim 1, wherein  $R_2$  is a hydroxyl group,  $R_3$  is a methoxy group,  $R_1$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ ,  $R_9$ ,  $R_{10}$  and  $R_{12}$  are hydrogen atoms,  $R_8$  and  $R_{13}$  are methyl groups, and  $R_{11}$  is a benzyl group.

14. The compound as defined in claim 1, wherein  $R_1$  is a hydroxyl group,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{12}$  are hydrogen atoms,  $R_6$ ,  $R_7$  and  $R_{13}$  are methyl groups, and  $R_{11}$  is a benzyl group.

15. The compound as defined in claim 1, wherein  $R_1$  is a hydroxyl group,  $R_3$  is a methoxy group,  $R_2$ ,  $R_4$ ,  $R_5$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{12}$  are hydrogen atoms,  $R_6$ ,  $R_7$  and  $R_{13}$  are methyl groups, and  $R_{11}$  is a benzyl group.

16. The compound as defined in claim 1, wherein  $R_1$  is a hydroxyl group,  $R_3$  is a methyl group,  $R_2$ ,  $R_4$ ,  $R_5$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{12}$  are hydrogen atoms,  $R_6$ ,  $R_7$  and  $R_{13}$  are methyl groups, and  $R_{11}$  is a benzyl group.

17. The compound as defined in claim 1, wherein  $R_2$  and  $R_3$  combine to form a

methylene dioxy group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub>, R<sub>7</sub> and R<sub>13</sub> are methyl groups, and R<sub>11</sub> is a benzyl group.

18. The compound as defined in claim 1, wherein R<sub>2</sub> is a methyl group, R<sub>3</sub> is a methoxy group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub>, R<sub>7</sub>, and R<sub>13</sub> are methyl groups, and R<sub>11</sub> is a benzyl group.

19. The compound as defined in claim 1, wherein R<sub>2</sub> is a methyl group, R<sub>3</sub> is a hydroxyl group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub>, R<sub>7</sub> and R<sub>13</sub> are methyl groups, and R<sub>11</sub> is a benzyl group.

20. The compound as defined in claim 1, wherein R<sub>2</sub> is a hydroxyl group, R<sub>3</sub> is a methyl group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub>, R<sub>7</sub> and R<sub>13</sub> are methyl groups, and R<sub>11</sub> is a benzyl group.

21. The compound as defined in claim 1, wherein R<sub>2</sub> is a methoxy group, R<sub>3</sub> is a hydroxyl group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub> and R<sub>7</sub> combine to form a tetramethylene group, R<sub>11</sub> is a benzyl group, and R<sub>13</sub> is a methyl group.

22. The compound as defined in claim 1, wherein R<sub>2</sub> is a hydroxyl group, R<sub>3</sub> is a methoxy group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub> and R<sub>7</sub> are methyl groups, R<sub>11</sub> is a benzyl group, and R<sub>13</sub> is an ethyl group.

23. The compound as defined in claim 1, wherein R<sub>2</sub> is a hydroxyl group, R<sub>3</sub> is a methoxy group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> are hydrogen atoms, R<sub>6</sub>, R<sub>7</sub>, R<sub>12</sub> and R<sub>13</sub> are methyl groups, and R<sub>11</sub> is a benzyl group.

24. The compound as defined in claim 1, wherein R<sub>2</sub> and R<sub>3</sub> is a hydroxyl group, R<sub>1</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>12</sub> are hydrogen atoms, R<sub>6</sub>, R<sub>7</sub> and R<sub>13</sub> are methyl groups, and R<sub>11</sub> is a benzyl group.

25. The compound as defined in claim 1, wherein when R<sub>6</sub> and R<sub>7</sub> differ, the carbon

atom to which  $R_8$  is linked in said formula is in the (R), (S) or (RS) configuration.

26. The compound as defined in claim 1, wherein when  $R_8$  and  $R_9$  differ, the carbon atom to which  $R_8$  is linked is in the (R), (S) or (RS) configuration.

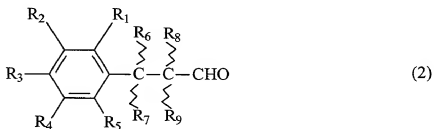
27. The compound as defined in claim 13, wherein when  $R_8$  and  $R_9$  differ the carbon atom to which  $R_8$  is linked is in the (R), (S) or (RS) configuration.

28. The compound as defined in claim 1, wherein when  $R_{10}$  is a substituent other than a hydrogen atom, the configuration of the carbon atom to which  $R_{10}$  is linked in said formula (1) is in the (R), (S) or (RS) configuration.

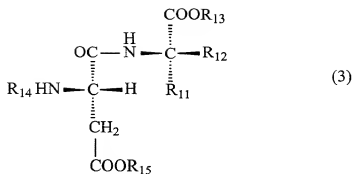
29. A composition comprising at least one compound of claim 1 and a carrier or bulking agent.

30. A method of imparting sweetness into a substance comprising adding at least one compound of claim 1 to said substance.

31. A method of producing the compound as defined in claim 1, wherein  $R_{10}$  is a hydrogen atom comprising:  
reacting under reductive alkylation conditions an aldehyde having the formula (2):



wherein  $R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8$  and  $R_9$  have the same meanings as  $R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8$  and  $R_9$ , respectively in the above formula (1), with an aspartame compound having the formula (3):

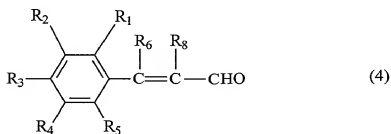


wherein  $\text{R}_{11}$ ,  $\text{R}_{12}$  and  $\text{R}_{13}$  in formula (3) have the same meanings as  $\text{R}_{11}$ ,  $\text{R}_{12}$  and  $\text{R}_{13}$  in formula (1),  $\text{R}_{14}$  is a hydrogen atom or a substituent which can be converted into a hydrogen atom and  $\text{R}_{15}$  is a hydrogen atom, benzyl group or a substituent which may be used to protect a carboxyl group.

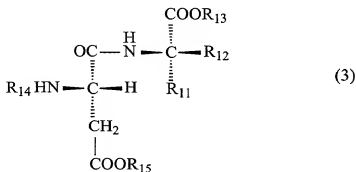
32. The method as defined in claim 1, wherein  $\text{R}_{15}$  is a t-butyl group.

33. A method of producing the compound as defined in claim 1, wherein  $\text{R}_7$ ,  $\text{R}_9$  and  $\text{R}_{10}$  are a hydrogen atom comprising:

reacting under reductive alkylation conditions an aldehyde having the formula (4):

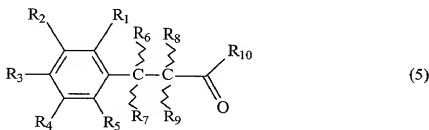


with an aspartame compound having the formula (3):



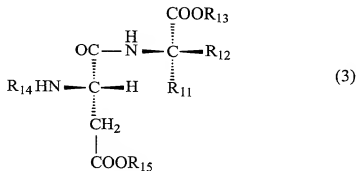
wherein  $R_{11}$ ,  $R_{12}$  and  $R_{13}$  in formula (3) have the same meanings as  $R_{11}$ ,  $R_{12}$  and  $R_{13}$  in formula (1),  $R_{14}$  is a hydrogen atom or a substituent which can be converted into a hydrogen atom and  $R_{15}$  is a hydrogen atom, benzyl group or a substituent which may be used to protect a carboxyl group.

34. A method of producing the compound as defined in claim 1, comprising:  
reacting under reductive alkylation conditions an aldehyde having the formula (5):



wherein  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ ,  $R_8$ ,  $R_9$  and  $R_{10}$  have the same meanings as  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ ,  $R_8$ ,  $R_9$  and  $R_{10}$ , respectively in formula (1);

with an aspartame compound having the formula (3):



wherein  $R_{11}$ ,  $R_{12}$  and  $R_{13}$  in formula (3) have the same meanings as  $R_{11}$ ,  $R_{12}$  and  $R_{13}$  in formula (1),  $R_{14}$  is a hydrogen atom or a substituent which can be converted into a hydrogen atom and  $R_{15}$  is a hydrogen atom, benzyl group or a substituent which may be used to protect a carboxyl group.